

CAS ONLINE PRINTOUT

=> D HIS

(FILE 'USPATFULL' ENTERED AT 10:58:37 ON 06 MAR 2006)
DELETE HIS

FILE 'REGISTRY' ENTERED AT 11:25:39 ON 06 MAR 2006

L1 SCREEN 2026 OR 2021 OR 2016 OR 1992 OR 1929
L2 STRUCTURE UPLOADED
L3 QUE L2 NOT L1
L4 4 S L3 CSS FUL

FILE 'CAPLUS' ENTERED AT 11:26:49 ON 06 MAR 2006

L5 5 S L4

=> D L2

L2 HAS NO ANSWERS

L2 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> D BIB ABS HITSTR 1-5 L5

L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:757334 CAPLUS

DN 139:276885

TI Preparation of novel heterocyclic analogs of diphenylethylene compounds as antidiabetics

IN Neogi, Partha; Dey, Debendranath; Medicherla, Satyanarayana; Nag, Bishwajit; Lee, Arthur

PA USA

SO U.S. Pat. Appl. Publ., 66 pp., Cont.-in-part of U.S. Ser. No. 843,167.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003181494	A1	20030925	US 2002-265902	20021008
	US 2002025975	A1	20020228	US 2001-785554	20010220
	US 2002032225	A1	20020314	US 2001-843167	20010427
	CA 2501456	AA	20040422	CA 2003-2501456	20031008
	WO 2004033438	A1	20040422	WO 2003-US31803	20031008
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	AU 2003282754	A1	20040504	AU 2003-282754	20031008
	EP 1549625	A1	20050706	EP 2003-774638	20031008
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006505551	T2	20060216	JP 2004-543490	20031008
PRAI	US 1999-287237	A2	19990406		
	US 2000-591105	B2	20000609		

CAS ONLINE PRINTOUT

US 2001-785554	A2	20010220
US 2001-843167	A2	20010427
US 1998-74925	A2	19980508
US 2002-265902	A	20021008
WO 2003-US31803	W	20031008

OS MARPAT 139:276885
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; Z = II-IV; n, m, q and r = 0-4 (n+m ≤ 4 and q+r ≤ 4); p, s = 0-5 (p+s ≤ 5); R, R2 = H, alkyl, alkenyl, etc.; R1 = H, alkyl, alkenyl, etc.; A, A1, A2 = H, acylamino, acyloxy, alkanoyl, etc.; B, B1, B2 = H, acylamino, acyloxy, alkanoyl, etc.; or A and B together, or A1 and B1 together, or A2 and B2 together, may be joined to form a methylenedioxy or ethylenedioxy; X, X1 = (un)substituted NH, O, S] which are effective in lowering blood glucose level, serum insulin, triglyceride and free fatty acid levels in animal models of Type II diabetes, were prepared E.g., a multi-step synthesis of V, starting from 3,5-dimethoxybenzaldehyde and 4-hydroxyphenylacetic acid, was given. The compound V showed strong glucose lowering activity even though it is a weak PPAR-γ agonist (data given). The compds. I are disclosed as useful for a variety of treatments including the treatment of inflammation, inflammatory and immunol. diseases, insulin resistance, hyperlipidemia, coronary artery disease, cancer and multiple sclerosis. Pharmaceutical composition comprising the compound I was claimed.

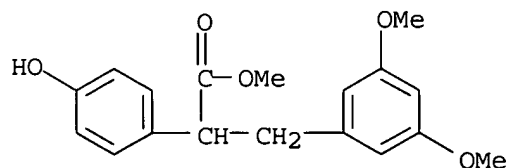
IT **380881-43-6P 606932-78-9P 606932-85-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diphenylethylene compds. containing thiazolidinedione or oxazolidinedione moieties for treating diabetes, inflammatory or immunol. disease in combination with other agents)

RN 380881-43-6 CAPLUS

CN Benzenepropanoic acid, α-(4-hydroxyphenyl)-3,5-dimethoxy-, methyl ester (9CI) (CA INDEX NAME)

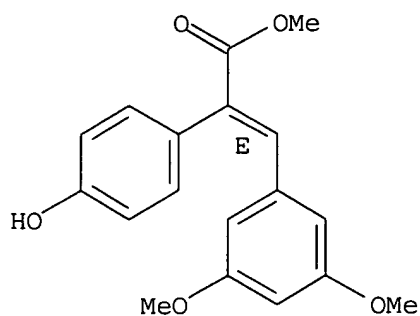


RN 606932-78-9 CAPLUS

CN Benzeneacetic acid, α-[(3,5-dimethoxyphenyl)methylene]-4-hydroxy-, methyl ester, (αE)- (9CI) (CA INDEX NAME)

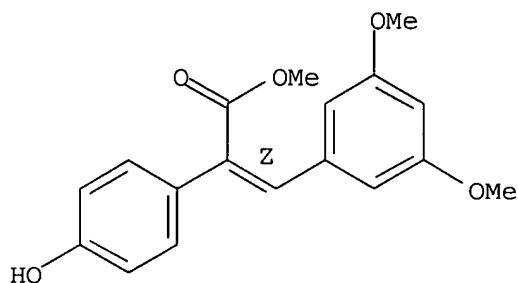
Double bond geometry as shown.

CAS ONLINE PRINTOUT

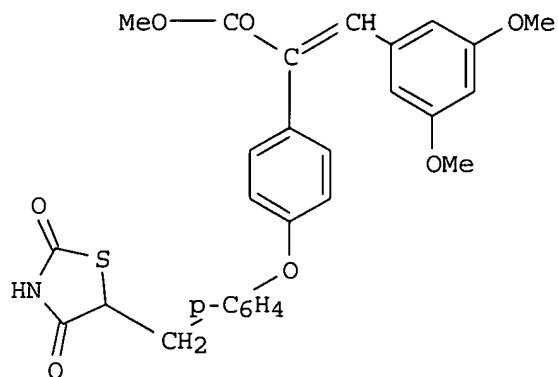


RN 606932-85-8 CAPLUS
CN Benzeneacetic acid, α -[(3,5-dimethoxyphenyl)methylene]-4-hydroxy-,
methyl ester, (α Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:645701 CAPLUS
DN 140:87046
TI Synthesis and structure-Activity relationship studies of cinnamic
acid-based novel thiazolidinedione antihyperglycemic agents
AU Neogi, Partha; Lakner, Fredrick J.; Medicherla, Satyanarayana; Cheng, Jin;
Dey, Debendranath; Gowri, Maya; Nag, Bishwajit; Sharma, Somesh D.;
Pickford, Lesley B.; Gross, Coleman
CS Department of Chemistry, Calyx Therapeutics Inc., Hayward, CA, 94545, USA
SO Bioorganic & Medicinal Chemistry (2003), 11(18), 4059-4067
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 140:87046
GI



I

AB A number of 2,4-thiazolidinedione derivs. of -Ph substituted cinnamic acid were synthesized and studied for their PPAR agonist activity. The E-isomer of cinnamic acid, I, showed moderate PPAR transactivation. The corresponding Z-isomer and double bond reduced derivative were found to be much less potent. Although the E-isomer showed a moderate PPAR γ transactivation, it demonstrated a strong glucose-lowering effect in a genetic rodent model of diabetes. Results of pharmacokinetic, metabolism and permeability studies are consistent with I being an active prodrug with the hydrolyzed carboxylate as an active metabolite that has similar glucose lowering and PPAR γ agonist properties.

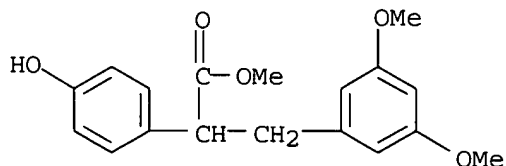
IT **380881-43-6P 606932-78-9P 606932-85-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(cinnamic acid-based thiazolidinedione antihyperglycemic agents)

RN 380881-43-6 CAPLUS

CN Benzenepropanoic acid, α -(4-hydroxyphenyl)-3,5-dimethoxy-, methyl ester (9CI) (CA INDEX NAME)

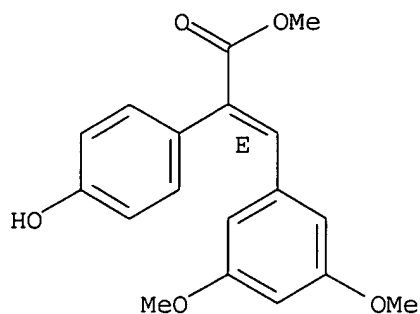


RN 606932-78-9 CAPLUS

CN Benzenecetic acid, α -[(3,5-dimethoxyphenyl)methylene]-4-hydroxy-, methyl ester, (α E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

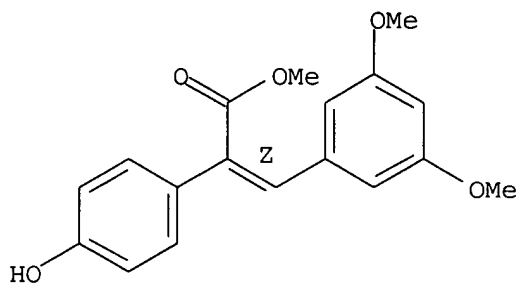
CAS ONLINE PRINTOUT



RN 606932-85-8 CAPLUS

CN Benzeneacetic acid, α -[(3,5-dimethoxyphenyl)methylene]-4-hydroxy-, methyl ester, (α Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:185699 CAPLUS

DN 136:247571

TI Preparation of novel heterocyclic analogs of diphenylethylene compounds as inhibitors of cytokines or cyclooxygenase

IN Nag, Bishwajit; Dey, Debendranath; Medicherla, Satyanarayana; Neogi, Partha

PA USA

SO U.S. Pat. Appl. Publ., 34 pp., Cont.-in-part of U.S. Ser. No. 785,554.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002032225	A1	20020314	US 2001-843167	20010427
	US 6245814	B1	20010612	US 1998-74925	19980508
	US 2002025975	A1	20020228	US 2001-785554	20010220
	CA 2410171	AA	20011220	CA 2001-2410171	20010605
	WO 2001095859	A2	20011220	WO 2001-US17950	20010605
	WO 2001095859	A3	20030828		

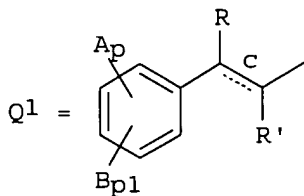
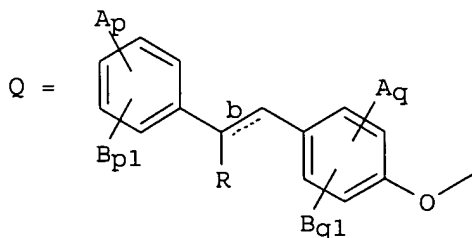
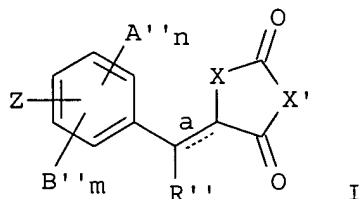
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CAS ONLINE PRINTOUT

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001066670	A5	20011224	AU 2001-66670	20010605
EP 1360178	A2	20031112	EP 2001-944241	20010605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2004527455	T2	20040909	JP 2002-510041	20010605
CN 1537002	A	20041013	CN 2001-820445	20010605
NZ 522660	A	20050527	NZ 2001-522660	20010605
US 2003181494	A1	20030925	US 2002-265902	20021008
US 2004186299	A1	20040923	US 2004-808519	20040325
PRAI US 1998-74925	A2	19980508		
US 1999-287237	A2	19990406		
US 2000-591105	A2	20000609		
US 2001-785554	A2	20010220		
US 2001-843167	A	20010427		
WO 2001-US17950	W	20010605		

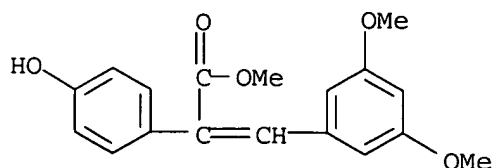
OS MARPAT 136:247571
GI



AB Novel diphenylethylene compds. and derivs. thereof containing thiazolidinedione or oxazolidinedione moieties are provided which are effective in lowering blood glucose level, serum insulin, triglyceride and free fatty acid levels in animal models of Type II diabetes. The above compds. and their derivs. are resented by formula [I; Z = Q, Q1, H, A", B"; wherein n, m, q, q1 = integers from zero to 4 provided that $n+m \leq 4$ and $q+q1 \leq 4$; p, p1 = integers from zero to 5 provided that $p+p1 \leq 5$; a, b and c are double bonds which may be present or absent; when present; the double bonds may be in the E or Z configuration and, when absent, the resulting stereocenters may have the R- or S-configuration; R, R', R" = H, C1-20 linear or branched alkyl, C2-20 linear or branched alkenyl, CO2Z' (wherein Z' = H, Na, K, or other pharmaceutically acceptable counterion such as Ca, Mg, ammonium, tromethamine, and the like), CO2R'', NH2, NHR'', N(R'')2, OH, OR'', halo, substituted C1-20 linear or branched alkyl or substituted C2-20 linear or branched alkenyl (wherein R'' is C1-20 linear or branched alkyl or linear or branched alkenyl); A, A', A'' = H, C1-20 acylamino, C1-20 acyloxy, C1-20 alkanoyl, C1-20 alkoxycarbonyl, C1-20 alkoxy, C1-20

alkylamino, C1-20 alkylcarboxylamino, CO₂H, cyano, halo, HO; B, B', B'' = H, C1-20 acylamino, C1-20 acyloxy, C1-20 alkanoyl, C1-20 alkenoyl, C1-20 alkoxy carbonyl, C1-20 alkoxy, C1-20 alkylamino, C1-20 alkylcarboxylamino, aroyl, aralkanoyl, CO₂H, cyano, halo, HO; or A and B together, or A' and B' together, or A'' and B'' together, may be joined to form a methylenedioxy or ethylenedioxy group; and X, X' are independently -NH, -NR'', O or S]. In contrast to previously reported thiazolidinedione compds., known to lower leptin levels, the present compds. increase leptin levels and have no known liver toxicity. They inhibit the activity of TNF- α , interleukin IL-1 or IL-6 or cyclooxygenase-2 (COX-2). The compds. are disclosed as useful for a variety of treatments including the treatment of inflammation, inflammatory and immunol. diseases, insulin resistance, hyperlipidemia, coronary artery disease, cancer and multiple sclerosis. Thus, To a mixture of 3,5-dimethoxybenzaldehyde (500 g) and p-hydroxyphenylacetic acid (457 g) was added acetic anhydride (1 L) and triethylamine (420 mL) and the nonhomogeneous mixture on heating became homogeneous at 70° and stirred at 130-140° for 6 h to give 47% 3-(3,5-dimethoxyphenyl)-2-(4-hydroxyphenyl)acrylic acid (II) (428 g). II (427.5 g) was suspended in 3 L methanol, treated with 100 mL concentrated H₂SO₄, and heated at reflux for 20 h under Ar to give 97% 3-(3,5-dimethoxyphenyl)-2-(4-hydroxyphenyl)acrylic acid Me ester (III). III (433 g) was dissolved in 1.6 L DMF, treated with 60.4 g NaH (50% in oil) and the with 185 mL p-fluorobenzaldehyde, and heated at 180° for 18 h to give 77% 3-(3,5-dimethoxyphenyl)-2-[4-(4-formylphenoxy)phenyl]acrylic acid Me ester which (352 g), 2,4-thiazolidinedione 98.6, benzoic acid 134, and piperidine 107.4 g were heated in 2.5 L toluene at reflux with continuous removal of H₂O through Dean-Stark apparatus to give 86% 3-(3,5-dimethoxyphenyl)-2-[4-[4-(2,4-dioxothiazolidin-5-ylidenemethyl)phenoxy]phenyl]acrylic acid Me ester (IV). IV (30 g) was hydrogenated over 15 g 10% Pd-C in 900 mL dioxane in a Parr apparatus at 60 Psi for 24 h, followed by adding 15 g 10% Pd-C and continuing the hydrogenation for another 24 h to give 86% 3-(3,5-dimethoxyphenyl)-2-[4-[4-(2,4-dioxothiazolidin-5-ylmethyl)phenoxy]phenyl]acrylic acid Me ester (V). When V was orally administered to ob/ob mice with a single oral dose (50 mg/kg body weight), there was a 62 % drop in blood glucose level and, similar to db/db mice, there was no significant increase in body weight between the control and the treatment groups. This was in contrast to treatment of diabetic animals by thiazolidinedione type compds. which are known to be associated with increase in body weight

IT **380881-27-6P**, 3-(3,5-Dimethoxyphenyl)-2-(4-hydroxyphenyl)acrylic acid methyl ester
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of novel heterocyclic analogs of phenylethylene compds. as inhibitors of cytokines or cyclooxygenase for therapeutic agents)
 RN 380881-27-6 CAPLUS
 CN Benzeneacetic acid, α -[(3,5-dimethoxyphenyl)methylene]-4-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



IT **380881-43-6P**, 3-(3,5-Dimethoxyphenyl)-2-(4-hydroxyphenyl)propionic

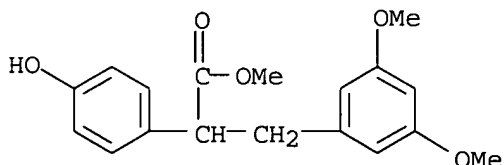
CAS ONLINE PRINTOUT

acid methyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of novel heterocyclic analogs of phenylethylene compds. as inhibitors of cytokines or cyclooxygenase for therapeutic agents)

RN 380881-43-6 CAPLUS

CN Benzenepropanoic acid, α -(4-hydroxyphenyl)-3,5-dimethoxy-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:158391 CAPLUS

DN 136:216745

TI Preparation and activity of diphenylethylene thiazolidinediones and analogs as antidiabetics, antiinflammatories, or immunomodulators

IN Nag, Bishwajit; Dey, Debendranath; Medicherla, Satyanarayana; Neogi, Partha

PA USA

SO U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of U.S. Ser. No. 591,105. CODEN: USXXCO

DT Patent

LA English

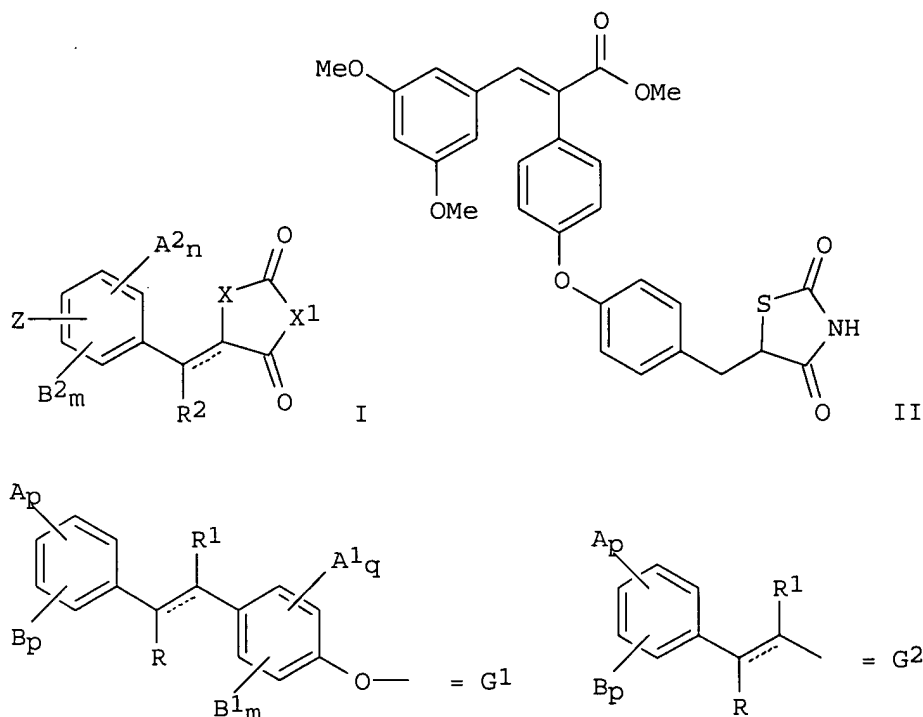
FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002025975	A1	20020228	US 2001-785554	20010220
	US 6245814	B1	20010612	US 1998-74925	19980508
	US 2002032225	A1	20020314	US 2001-843167	20010427
	CA 2410171	AA	20011220	CA 2001-2410171	20010605
	WO 2001095859	A2	20011220	WO 2001-US17950	20010605
	WO 2001095859	A3	20030828		
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	AU 2001066670	A5	20011224	AU 2001-66670	20010605
	EP 1360178	A2	20031112	EP 2001-944241	20010605
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	JP 2004527455	T2	20040909	JP 2002-510041	20010605
	CN 1537002	A	20041013	CN 2001-820445	20010605
	NZ 522660	A	20050527	NZ 2001-522660	20010605
	US 2003181494	A1	20030925	US 2002-265902	20021008
	US 2004186299	A1	20040923	US 2004-808519	20040325
PRAI	US 1998-74925	A2	19980508		

CAS ONLINE PRINTOUT

US 1999-287237	A2	19990406
US 2000-591105	A2	20000609
US 2001-785554	A2	20010220
US 2001-843167	A	20010427
WO 2001-US17950	W	20010605

OS MARPAT 136:216745
GI



AB Title compds. I [wherein Z = G1, H, A2, B2, or G2; n, m, and q = independently 0-4; p = independently 0-5; R, R1, and R2 = independently H, (un)substituted alkyl or alkenyl, CO₂Z1, CO₂R3, NH₂, NHR3, NR32, OH, OR3, or halo; Z1 = H, Na, K, or other pharmaceutically acceptable counterion; R3 = alkyl or alkenyl; A, A1, and A2 = independently H, acylamino, acyloxy, alkanoyl, alkoxycarbonyl, alkoxy, alkylamino, alkylcarboxylamino, carboxyl, CN, H, or OH; B, B1, and B2 = independently H, acylamino, acyloxy, alkanoyl, alkenoyl, alkoxycarbonyl, alkoxy, alkylamino, alkylcarboxylamino, aroyl, aralkanoyl, carboxyl, CN, halo, or OH; or A and B or A1 and B1 or A2 and B2 together form a methylenedioxy or ethylenedioxy group; X and X1 = independently NH, NR3, O, or S] are provided which are effective in lowering blood glucose level, serum insulin, triglyceride, and free fatty acid levels in animal models of Type II diabetes. In contrast to previously reported thiazolidinedione compds., known to lower leptin levels, the present compds. increase leptin levels and have no known liver toxicity. Thus, II was prepared in five steps by condensation of 3,5-dimethoxybenzaldehyde with 4-hydroxyphenylacetic acid (47%), followed by esterification (97%), etherification with 4-fluorobenzaldehyde (77%), condensation with 2,4-thiazolidinedione (86%), and hydrogenation of the ylidene double bond (40%). Oral administration of II to obese mice caused a 62% drop in blood glucose level. I are useful for the treatment of inflammation, inflammatory and immunol. diseases, insulin resistance, hyperlipidemia, coronary artery disease, cancer, and multiple sclerosis.

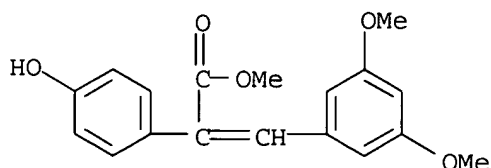
CAS ONLINE PRINTOUT

IT 380881-27-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and activity of diphenylethylene thiazolidinediones and analogs as antidiabetics, antiinflammatories, or immunomodulators)

RN 380881-27-6 CAPLUS

CN Benzeneacetic acid, α -[(3,5-dimethoxyphenyl)methylene]-4-hydroxy-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:923567 CAPLUS

DN 136:37596

TI Preparation and activity of diphenylethylene thiazolidinedione or oxazolidinedione compounds as antidiabetics or antiinflammatories

IN Neogi, Partha; Nag, Bishwajit; Medicherla, Satyanarayana; Dey, Debendranath

PA Calyx Therapeutics, Inc., USA

SO PCT Int. Appl., 76 pp.

CODEN: PIXXD2

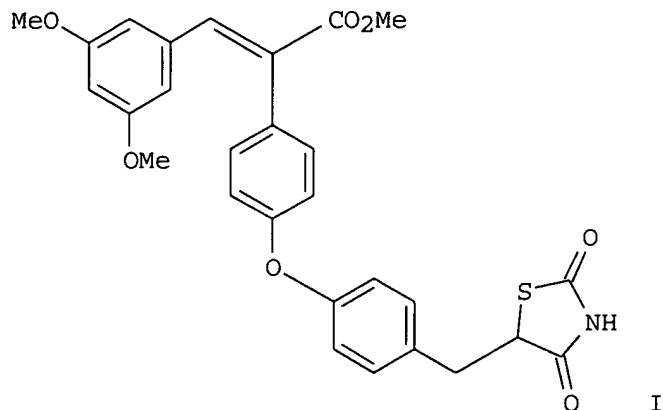
DT Patent

LA English

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2001095859	A2	20011220	WO 2001-US17950	20010605
	WO 2001095859	A3	20030828		
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	US 2002025975	A1	20020228	US 2001-785554	20010220
	US 2002032225	A1	20020314	US 2001-843167	20010427
	CA 2410171	AA	20011220	CA 2001-2410171	20010605
	AU 2001066670	A5	20011224	AU 2001-66670	20010605
	EP 1360178	A2	20031112	EP 2001-944241	20010605
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	JP 2004527455	T2	20040909	JP 2002-510041	20010605
	NZ 522660	A	20050527	NZ 2001-522660	20010605
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	US 2001-785554	A2	20010220		
	US 2001-843167	A2	20010427		
	US 1998-74925	A2	19980508		
	US 1999-287237	A2	19990406		
	WO 2001-US17950	W	20010605		

OS MARPAT 136:37596
GI



AB Novel diphenylethylene compds. and derivs. thereof containing thiazolidinedione or oxazolidinedione moieties are provided which are effective in lowering blood glucose level, serum insulin, triglyceride and free fatty acid levels in animal models of Type II diabetes. In contrast to previously reported thiazolidinedione compds., known to lower leptin levels, the present compds. increase leptin levels and have no known liver toxicity. Thus, (I) was prepared in five steps by condensation of 3,5-dimethoxybenzaldehyde with 4-hydroxyphenylacetic acid followed by esterification and etherification with 4-fluorobenzaldehyde and condensation with 2,4-thiazolidinedione and hydrogenation of the ylidene double bond. Oral administration of I to obese mice caused a 62% drop in blood glucose level. The compds. are disclosed as useful for a variety of treatments including the treatment of inflammation, inflammatory and immunol. diseases, insulin resistance, hyperlipidemia, coronary artery disease, cancer and multiple sclerosis.

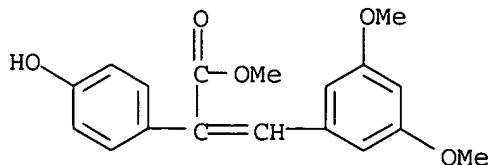
IT 380881-27-6P 380881-43-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and activity of diphenylethylene thiazolidinedione or oxazolidinedione compds. as antidiabetics or antiinflammatories)

RN 380881-27-6 CAPLUS

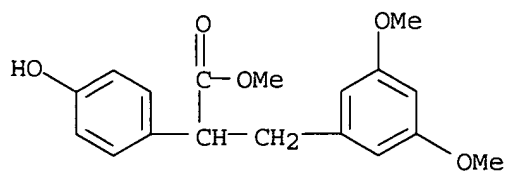
CN Benzenecetic acid, α -[(3,5-dimethoxyphenyl)methylene]-4-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



RN 380881-43-6 CAPLUS

CN Benzenepropanoic acid, α -(4-hydroxyphenyl)-3,5-dimethoxy-, methyl ester (9CI) (CA INDEX NAME)

CAS ONLINE PRINTOUT



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